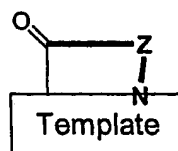


CLAIMS

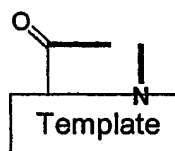
5

1. Compounds of the general formula



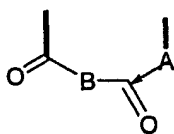
(I)

wherein

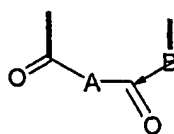


10

is a group of one of the formulae

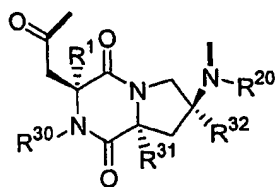


(a1)

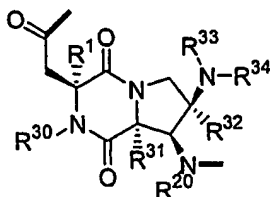


(a2)

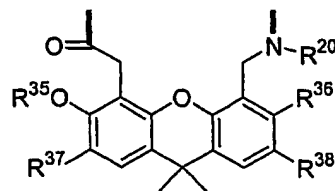
15



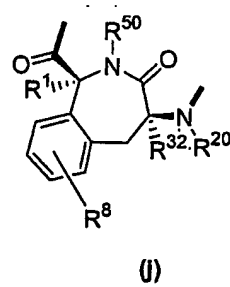
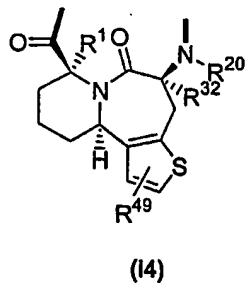
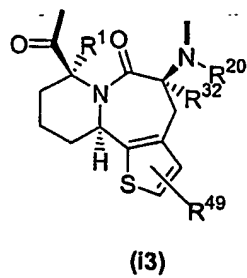
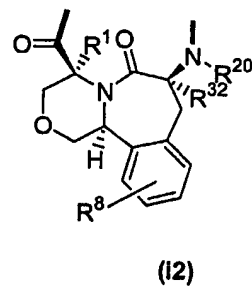
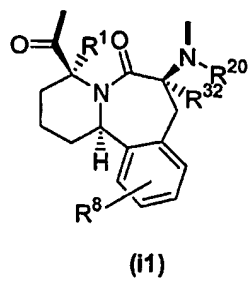
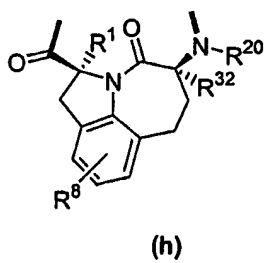
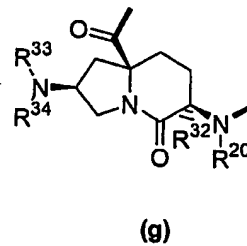
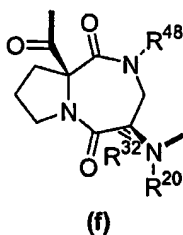
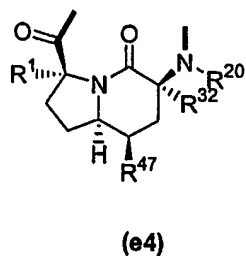
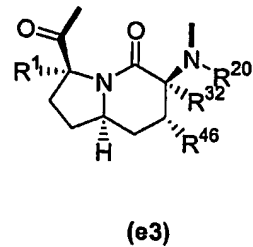
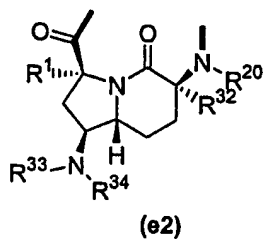
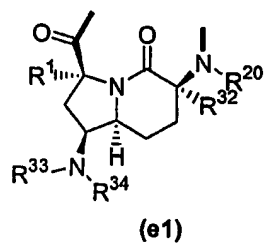
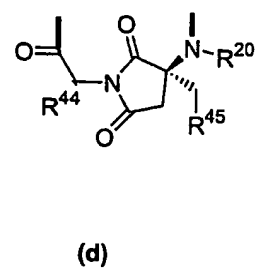
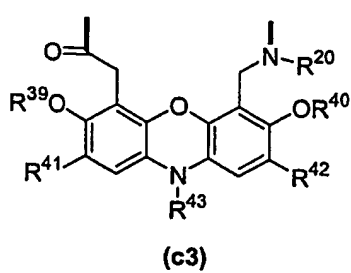
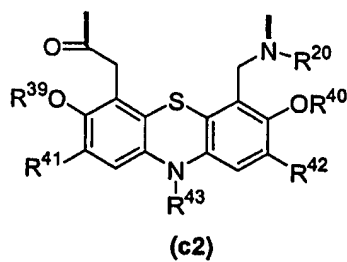
(b1)



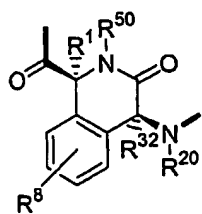
(b2)



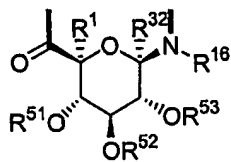
c1)



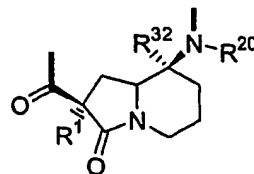
88



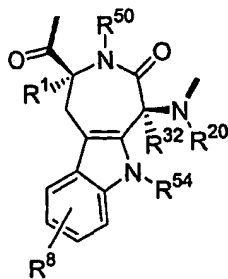
(k)



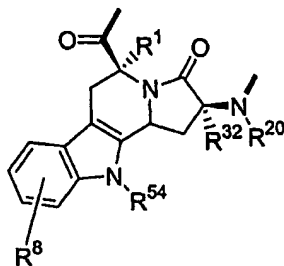
(l)



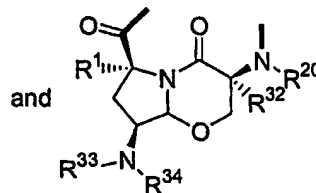
(m)



(n)

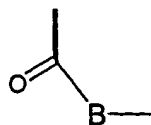


(o)



(p)

wherein



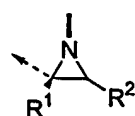
- 5 is the residue of an L- α -amino acid with B being a residue of formula $-\text{NR}^{20}\text{CH}(\text{R}^{71})-$ or the enantiomer of one of the groups A1 to A69 as defined hereinafter;



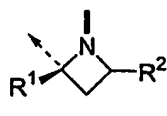
10

is a group of one of the formulae

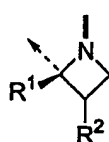
89



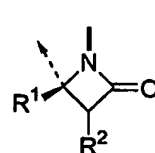
A1



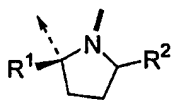
A2



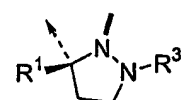
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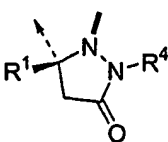
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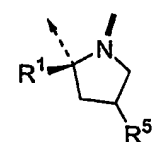
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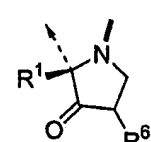
A6



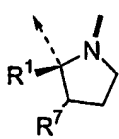
A7



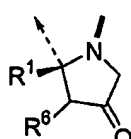
A8



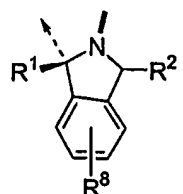
A9



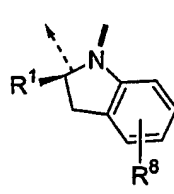
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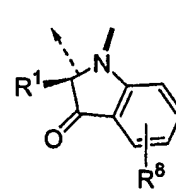
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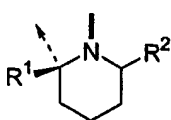
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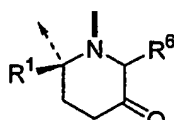
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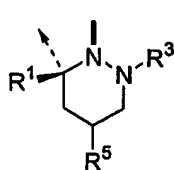
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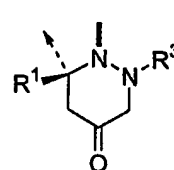
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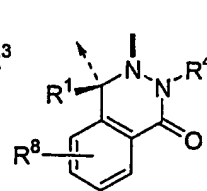
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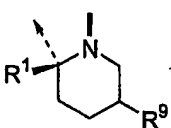
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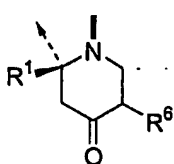
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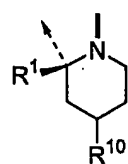
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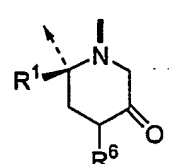
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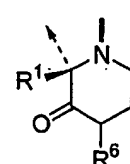
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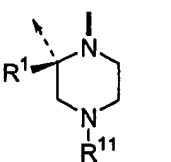
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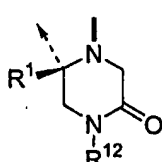
A23



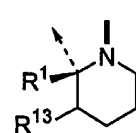
A24



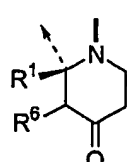
A25



A26

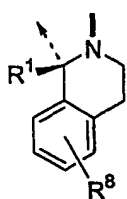


A27

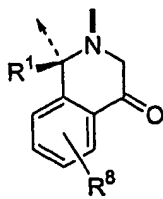


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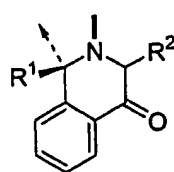
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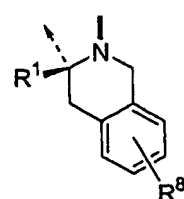
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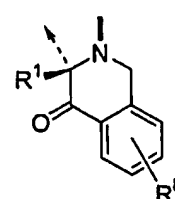
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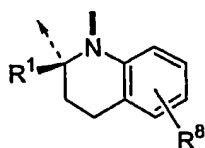
A31



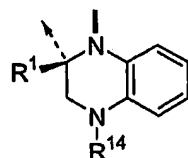
A32



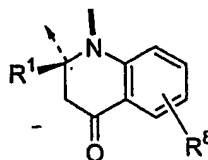
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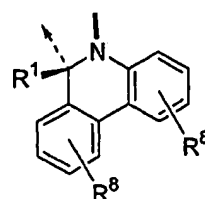
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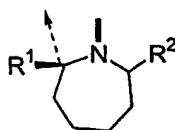
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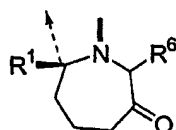
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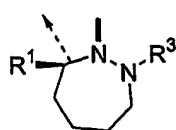
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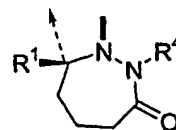
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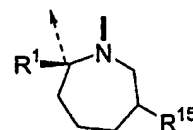
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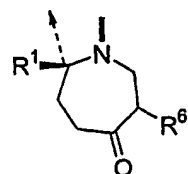
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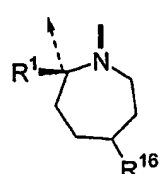
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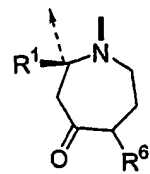
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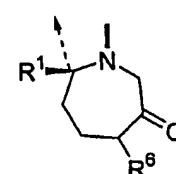
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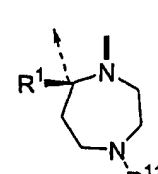
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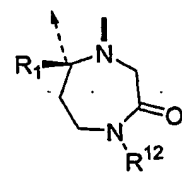
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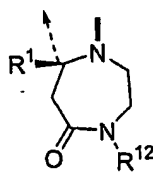
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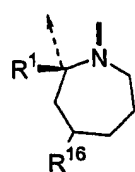
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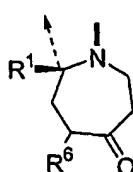
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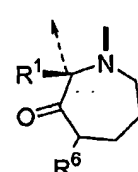
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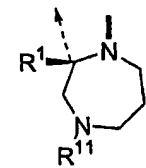
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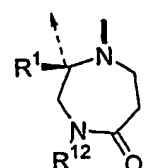
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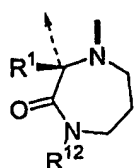
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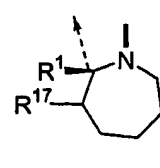
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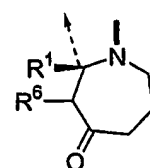
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A55

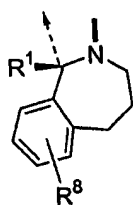


A56

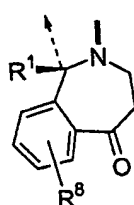


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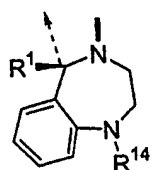
91



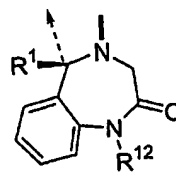
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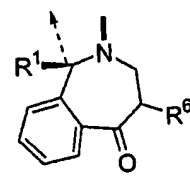
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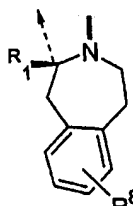
A60



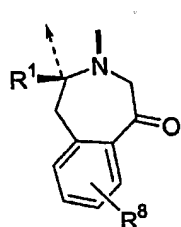
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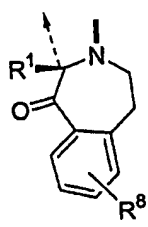
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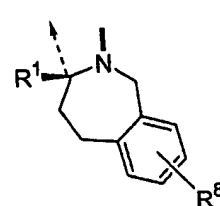
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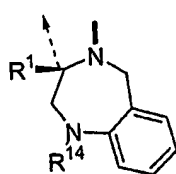
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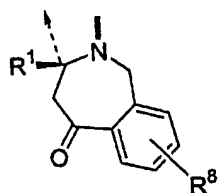
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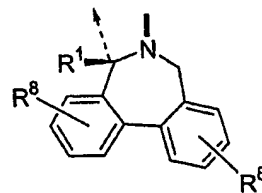
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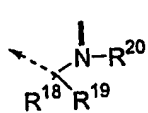
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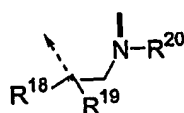
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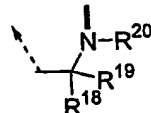
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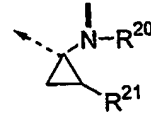
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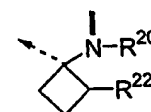
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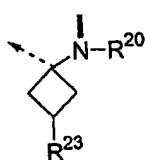
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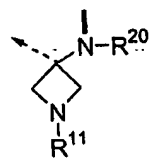
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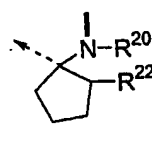
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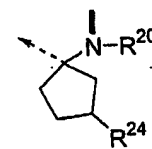
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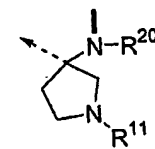
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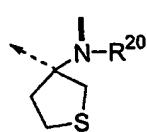
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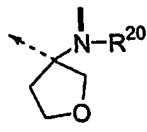
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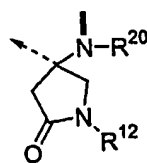
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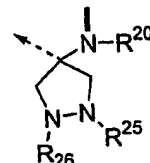
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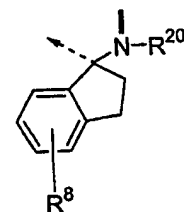
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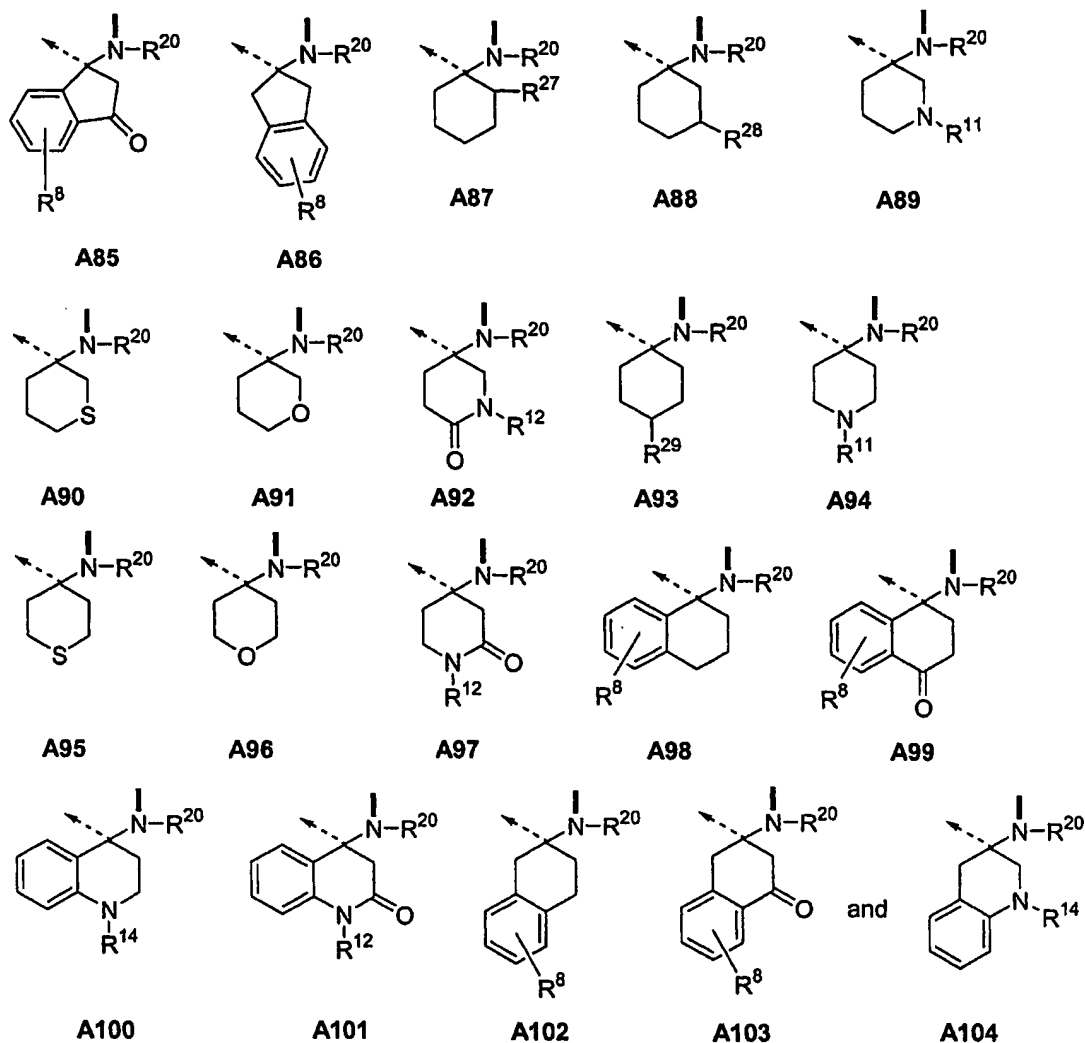
A82



A83



A84



R^1 is H; lower alkyl; or aryl-lower alkyl;

R^2 is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;

$-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;

5 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;

$-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;

$-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;

R^3 is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;

$-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;

10 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;

$-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;

$-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;

- R^4 is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$; -
 $(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_p(CHR^{61})_sCOOR^{57}$; $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$;
5 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^5 is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
10 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^6 is H; alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
15 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^7 is alkyl; alkenyl; $-(CH_2)_q(CHR^{61})_sOR^{55}$; $-(CH_2)_q(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_q(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_q(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_r(CHR^{61})_sCOOR^{57}$; $-(CH_2)_r(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_r(CHR^{61})_sPO(OR^{60})_2$;
20 $-(CH_2)_r(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_r(CHR^{61})_sC_6H_4R^8$;
- R^8 is H; Cl; F; CF_3 ; NO_2 ; lower alkyl; lower alkenyl; aryl; aryl-lower alkyl;
 $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
25 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sCOR^{64}$;
- R^9 is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
30 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^{10} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
35 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^{11} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;

- $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R¹² is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;
 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
 5 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_r(CHR^{61})_sCOOR^{57}$; -
 $(CH_2)_r(CHR^{61})_sCONR^{58}R^{59}$;
 $-(CH_2)_r(CHR^{61})_sPO(OR^{60})_2$; $-(CH_2)_r(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_r(CHR^{61})_sC_6H_4R^8$;
 R¹³ is alkyl; alkenyl; $-(CH_2)_q(CHR^{61})_sOR^{55}$; $-(CH_2)_q(CHR^{61})_sSR^{56}$; -
 $(CH_2)_q(CHR^{61})_sNR^{33}R^{34}$;
 10 $-(CH_2)_q(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_q(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_q(CHR^{61})_sCOOR^{57}$; $-(CH_2)_q(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_q(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_q(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_q(CHR^{61})_sC_6H_4R^8$;
 R¹⁴ is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 15 $-(CH_2)_q(CHR^{61})_sCOOR^{57}$; $-(CH_2)_q(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_q(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_q(CHR^{61})_sSOR^{62}$; or $-(CH_2)_q(CHR^{61})_sC_6H_4R^8$;
 R¹⁵ is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 20 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R¹⁶ is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 25 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R¹⁷ is alkyl; alkenyl; $-(CH_2)_q(CHR^{61})_sOR^{55}$; $-(CH_2)_q(CHR^{61})_sSR^{56}$; -
 $(CH_2)_q(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_q(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_q(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 30 $-(CH_2)_q(CHR^{61})_sCOOR^{57}$; $-(CH_2)_q(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_q(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_q(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_q(CHR^{61})_sC_6H_4R^8$;
 R¹⁸ is alkyl; alkenyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; $-(CH_2)_p(CHR^{61})_sSR^{56}$; -
 $(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 35 $-(CH_2)_p(CHR^{61})_sCOOR^{57}$; $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$;

- R^{19} is lower alkyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; $-(CH_2)_p(CHR^{61})_sSR^{56}$; $-(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_p(CHR^{61})_sCOOR^{57}$; $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$; or
- 5 R^{18} and R^{19} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or
 $-(CH_2)_2NR^{57}(CH_2)_2-$;
- R^{20} is H; alkyl; alkenyl; or aryl-lower alkyl;
- R^{21} is H; alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
- 10 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^{22} is H; alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
- 15 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^{23} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
- 20 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^{24} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
- 25 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^{25} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;
 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
- 30 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
- R^{26} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;
 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;

- $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; -
 $(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$;
 $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$; $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$; or
 R^{25} and R^{26} taken together can form: $-(CH_2)_{2-6}$; $-(CH_2)_rO(CH_2)_r$; $-(CH_2)_rS(CH_2)_r$; or
 $-(CH_2)_rNR^{57}(CH_2)_r$;
 R^{27} is H; alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; -
 $(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$;
 $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{28} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; $-(CH_2)_o(CHR^{61})_s$
 $NR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_s$
 $PO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{29} is alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{30} is H; alkyl; alkenyl; or aryl-lower alkyl;
 R^{31} is H; alkyl; alkenyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; $-(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{32} is H; lower alkyl; or aryl-lower alkyl;
 R^{33} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{34}R^{63}$;
 $-(CH_2)_m(CHR^{61})_sOCONR^{75}R^{82}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{78}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOR^{64}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{34} is H; lower alkyl; aryl, or aryl-lower alkyl;
 R^{33} and R^{34} taken together can form: $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or
 $-(CH_2)_2NR^{57}(CH_2)_2$;
 R^{35} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$;

- $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_p(CHR^{61})_sCOOR^{57}$; $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$;
 5 R^{36} is H, alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_p(CHR^{61})_sCOOR^{57}$; $-(CH_2)_p(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_p(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{37} is H; F; Br; Cl; NO_2 ; CF_3 ; lower alkyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; -
 $(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 10 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{38} is H; F; Br; Cl; NO_2 ; CF_3 ; alkyl; alkenyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; -
 $(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 15 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{39} is H; alkyl; alkenyl; or aryl-lower alkyl;
 R^{40} is H; alkyl; alkenyl; or aryl-lower alkyl;
 20 R^{41} is H; F; Br; Cl; NO_2 ; CF_3 ; alkyl; alkenyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; -
 $(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 25 R^{42} is H; F; Br; Cl; NO_2 ; CF_3 ; alkyl; alkenyl; $-(CH_2)_p(CHR^{61})_sOR^{55}$; -
 $(CH_2)_p(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 30 R^{43} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_o(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{44} is alkyl; alkenyl; $-(CH_2)_r(CHR^{61})_sOR^{55}$; $-(CH_2)_r(CHR^{61})_sSR^{56}$; $-(CH_2)_r(CHR^{61})_sNR^{33}R^{34}$;
 35 $-(CH_2)_r(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_r(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_r(CHR^{61})_sCOOR^{57}$; $-(CH_2)_r(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_r(CHR^{61})_sPO(OR^{60})_2$;

- $-(CH_2)_l(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_l(CHR^{61})_sC_6H_4R^8$;
 R^{45} is H; alkyl; alkenyl; $-(CH_2)_o(CHR^{61})_sOR^{55}$; $-(CH_2)_o(CHR^{61})_sSR^{56}$; -
 $(CH_2)_o(CHR^{61})_sNR^{33}R^{34}$;
 $-(CH_2)_o(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_o(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
5 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_s(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_s(CHR^{61})_sPO(OR^{60})_2$;
 $-(CH_2)_s(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_s(CHR^{61})_sC_6H_4R^8$;
 R^{46} is H; alkyl; alkenyl; or $-(CH_2)_o(CHR^{61})_pC_6H_4R^8$;
 R^{47} is H; alkyl; alkenyl; or $-(CH_2)_o(CHR^{61})_sOR^{55}$;
 R^{48} is H; lower alkyl; lower alkenyl; or aryl-lower alkyl;
10 R^{49} is H; alkyl; alkenyl; $-(CHR^{61})_sCOOR^{57}$; $(CHR^{61})_sCONR^{58}R^{59}$; $(CHR^{61})_sPO(OR^{60})_2$;
 $-(CHR^{61})_sSOR^{62}$; or $-(CHR^{61})_sC_6H_4R^8$;
 R^{50} is H; lower alkyl; or aryl-lower alkyl;
 R^{51} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;
 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
15 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_pPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$;
 R^{52} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$;
 $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$; $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
20 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_pPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$;
 R^{53} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sSR^{56}$; -
 $(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$;
25 $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$;
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$; $-(CH_2)_o(CHR^{61})_sCOOR^{57}$;
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_o(CHR^{61})_pPO(OR^{60})_2$;
 $-(CH_2)_p(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_p(CHR^{61})_sC_6H_4R^8$;
 R^{54} is H; alkyl; alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{33}R^{34}$;
30 $-(CH_2)_m(CHR^{61})_sOCONR^{33}R^{75}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{33}R^{82}$;
 $-(CH_2)_o(CHR^{61})_sCOOR^{57}$; $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$; or $-(CH_2)_o(CHR^{61})_sC_6H_4R^8$;
 R^{55} is H; lower alkyl; lower alkenyl; aryl-lower alkyl; $-(CH_2)_m(CHR^{61})_sOR^{57}$;
 $-(CH_2)_m(CHR^{61})_sNR^{34}R^{63}$; $-(CH_2)_m(CHR^{61})_sOCONR^{75}R^{82}$;
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{78}R^{82}$; $-(CH_2)_o(CHR^{61})_s-COR^{64}$; -
35 $(CH_2)_o(CHR^{61})_sCOOR^{57}$; or
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$;

- R^{56} is H; lower alkyl; lower alkenyl; aryl-lower alkyl; $-(CH_2)_m(CHR^{61})_sOR^{57}$;
 $-(CH_2)_m(CHR^{61})_sNR^{34}R^{63}$; $-(CH_2)_m(CHR^{61})_sOCONR^{75}R^{82}$;
 $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{78}R^{82}$; $-(CH_2)_o(CHR^{61})_s-COR^{64}$; or
 $-(CH_2)_o(CHR^{61})_sCONR^{58}R^{59}$;
- 5 R^{57} is H; lower alkyl; lower alkenyl; aryl lower alkyl; or heteroaryl lower alkyl;
 R^{58} is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; or heteroaryl-lower alkyl;
 R^{59} is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; or heteroaryl-lower alkyl; or
- 10 R^{58} and R^{59} taken together can form: $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or
 $-(CH_2)_2NR^{57}(CH_2)_2$;
 R^{60} is H; lower alkyl; lower alkenyl; aryl; or aryl-lower alkyl;
 R^{61} is alkyl; alkenyl; aryl; heteroaryl; aryl-lower alkyl; heteroaryl-lower alkyl; -
 $(CH_2)_mOR^{55}$;
- 15 $-(CH_2)_mNR^{33}R^{34}$; $-(CH_2)_mOCONR^{75}R^{82}$; $-(CH_2)_mNR^{20}CONR^{78}R^{82}$; $-(CH_2)_oCOOR^{37}$;
 $-(CH_2)_oNR^{58}R^{59}$; or $-(CH_2)_oPO(COR^{60})_2$;
 R^{62} is lower alkyl; lower alkenyl; aryl, heteroaryl; or aryl-lower alkyl;
 R^{63} is H; lower alkyl; lower alkenyl; aryl, heteroaryl; aryl-lower alkyl; heteroaryl-lower alkyl;
- 20 $-COR^{64}$; $-COOR^{57}$; $-CONR^{58}R^{59}$; $-SO_2R^{62}$; or $-PO(OR^{60})_2$;
 R^{34} and R^{63} taken together can form: $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or
 $-(CH_2)_2NR^{57}(CH_2)_2$;
 R^{64} is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; heteroaryl-lower alkyl;
- 25 $-(CH_2)_p(CHR^{61})_sOR^{65}$; $-(CH_2)_p(CHR^{61})_sSR^{66}$; or $-(CH_2)_p(CHR^{61})_sNR^{34}R^{63}$;
 $-(CH_2)_p(CHR^{61})_sOCONR^{75}R^{82}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{78}R^{82}$;
 R^{65} is H; lower alkyl; lower alkenyl; aryl, aryl-lower alkyl; heteroaryl-lower alkyl; $-COR^{57}$;
 $-COOR^{57}$; or $-CONR^{58}R^{59}$;
- R^{66} is H; lower alkyl; lower alkenyl; aryl; aryl-lower alkyl; heteroaryl-lower alkyl; or -
 $CONR^{58}R^{59}$;
- 30 m is 2-4; o is 0-4; p is 1-4; q is 0-2; r is 1 or 2; s is 0 or 1;

Z is a chain of 12 α -amino acid residues, the positions of said amino acid residues in said
 35 chain being counted starting from the N-terminal amino acid, whereby these amino acid

residues are, depending on their position in the chains, Gly, or Pro, or of formula -A-CO-, or of formula -B-CO-, or of one of the types

- C: $-\text{NR}^{20}\text{CH}(\text{R}^{72})\text{CO}-$;
 5 D: $-\text{NR}^{20}\text{CH}(\text{R}^{73})\text{CO}-$;
 E: $-\text{NR}^{20}\text{CH}(\text{R}^{74})\text{CO}-$;
 F: $-\text{NR}^{20}\text{CH}(\text{R}^{84})\text{CO}-$; and
 H: $-\text{NR}^{20}-\text{CH}(\text{CO}-)(\text{CH}_2)_{4,7}-\text{CH}(\text{CO}-)\text{NR}^{20}-$;
 $-\text{NR}^{20}-\text{CH}(\text{CO}-)(\text{CH}_2)_p\text{SS}(\text{CH}_2)_p-\text{CH}(\text{CO}-)\text{NR}^{20}-$;
 10 $-\text{NR}^{20}-\text{CH}(\text{CO}-)(-\text{CH}_2)_p\text{NR}^{20}\text{CO}(\text{CH}_2)_p-\text{CH}(\text{CO}-)\text{NR}^{20}-$; and
 $-\text{NR}^{20}-\text{CH}(\text{CO}-)(-\text{CH}_2)_p\text{NR}^{20}\text{CONR}^{20}(\text{CH}_2)_p-\text{CH}(\text{CO}-)\text{NR}^{20}-$;
 I: $-\text{NR}^{86}\text{CH}_2\text{CO}-$;
 K: $-\text{NR}^{87}\text{CH}_2\text{CO}-$;
 R^{71} is H; lower alkyl; lower alkenyl; $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{OR}^{75}$; $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{SR}^{75}$;
 15 $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{NR}^{33}\text{R}^{34}$; $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{OCONR}^{33}\text{R}^{75}$; -
 $(\text{CH}_2)_p(\text{CHR}^{61})_s\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$;
 $-(\text{CH}_2)_o(\text{CHR}^{61})_s\text{COOR}^{75}$; $-(\text{CH}_2)_p\text{CONR}^{58}\text{R}^{59}$; $-(\text{CH}_2)_p\text{PO}(\text{OR}^{62})_2$; $-(\text{CH}_2)_p\text{SO}_2\text{R}^{62}$; or
 $-(\text{CH}_2)_o\text{-C}_6\text{R}^{67}\text{R}^{68}\text{R}^{69}\text{R}^{70}\text{R}^{76}$;
 R^{72} is H, lower alkyl; lower alkenyl; $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{OR}^{85}$; or $-(\text{CH}_2)_p(\text{CHR}^{61})_s\text{SR}^{85}$;
 20 R^{73} is $-(\text{CH}_2)_o\text{R}^{77}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_o\text{R}^{77}$; $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_o\text{R}^{77}$; or $-(\text{CH}_2)_r\text{NR}^{20}(\text{CH}_2)_o\text{R}^{77}$;
 R^{74} is $-(\text{CH}_2)_p\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{NR}^{77}\text{R}^{80}$; $-(\text{CH}_2)_p\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; -
 $(\text{CH}_2)_p\text{C}(=\text{NOR}^{50})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_p\text{C}(=\text{NNR}^{78}\text{R}^{79})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{NR}^{80}\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_p\text{N}=\text{C}(\text{NR}^{78}\text{R}^{80})\text{NR}^{79}\text{R}^{80}$; $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{NR}^{77}\text{R}^{80}$;
 25 $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NOR}^{50})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NNR}^{78}\text{R}^{79})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{NR}^{80}\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{N}=\text{C}(\text{NR}^{78}\text{R}^{80})\text{NR}^{79}\text{R}^{80}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_m\text{NR}^{78}\text{R}^{79}$; -
 $(\text{CH}_2)_r\text{O}(\text{CH}_2)_m\text{NR}^{77}\text{R}^{80}$;
 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}(=\text{NOR}^{50})\text{NR}^{78}\text{R}^{79}$;
 30 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}(=\text{NNR}^{78}\text{R}^{79})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_m\text{NR}^{80}\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_m\text{N}=\text{C}(\text{NR}^{78}\text{R}^{80})\text{NR}^{79}\text{R}^{80}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{CNR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NOR}^{50})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NNR}^{78}\text{R}^{79})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{O}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{NR}^{80}\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_m\text{NR}^{78}\text{R}^{79}$;
 35 $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_m\text{NR}^{77}\text{R}^{80}$; $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_p\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_p\text{C}(=\text{NOR}^{50})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_p\text{C}(=\text{NNR}^{78}\text{R}^{79})\text{NR}^{78}\text{R}^{79}$;

- 5 $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_m\text{NR}^{80}\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_m\text{N}=\text{C}(\text{NR}^{78}\text{R}^{80})\text{NR}^{79}\text{R}^{80}$;
 $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{CNR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NOR}^{50})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{C}(=\text{NNR}^{78}\text{R}^{79})\text{NR}^{78}\text{R}^{79}$;
 $-(\text{CH}_2)_r\text{S}(\text{CH}_2)_p\text{C}_6\text{H}_4\text{NR}^{80}\text{C}(=\text{NR}^{80})\text{NR}^{78}\text{R}^{79}$; $-(\text{CH}_2)_p\text{NR}^{80}\text{COR}^{64}$; $-(\text{CH}_2)_p\text{NR}^{80}\text{COR}^{77}$;
 $-(\text{CH}_2)_p\text{NR}^{80}\text{CONR}^{78}\text{R}^{79}$; or $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{NR}^{80}\text{CONR}^{78}\text{R}^{79}$;

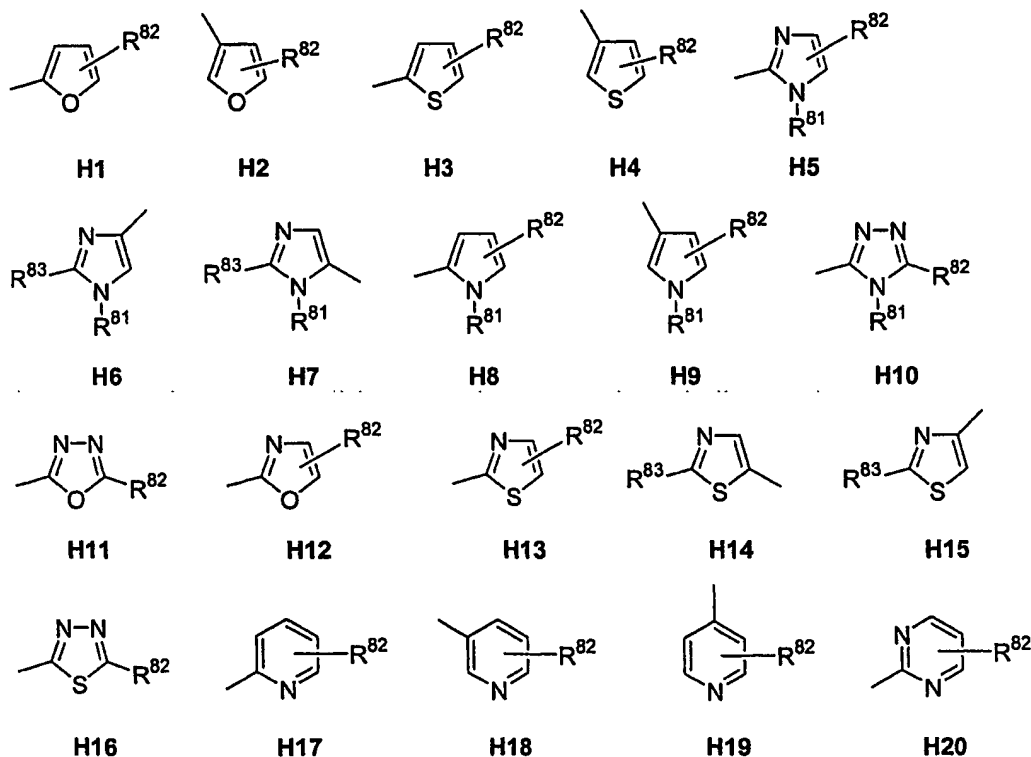
R^{75} is lower alkyl; lower alkenyl; or aryl-lower alkyl;

R^{33} and R^{75} taken together can form: $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or
 $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$;

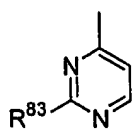
- 10 R^{75} and R^{82} taken together can form: $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or
 $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$;

R^{76} is H; lower alkyl; lower alkenyl; aryl-lower alkyl; $-(\text{CH}_2)_6\text{OR}^{72}$; $-(\text{CH}_2)_6\text{SR}^{72}$;
 $-(\text{CH}_2)_6\text{NR}^{33}\text{R}^{34}$; $-(\text{CH}_2)_6\text{OCONR}^{33}\text{R}^{75}$; $-(\text{CH}_2)_6\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$;
 $-(\text{CH}_2)_6\text{COOR}^{75}$; $-(\text{CH}_2)_6\text{CONR}^{58}\text{R}^{59}$; $-(\text{CH}_2)_6\text{PO}(\text{OR}^{60})_2$; $-(\text{CH}_2)_p\text{SO}_2\text{R}^{62}$; or
 $-(\text{CH}_2)_6\text{COR}^{64}$;

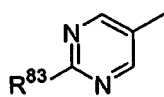
- 15 R^{77} is $-\text{C}_6\text{R}^{67}\text{R}^{68}\text{R}^{69}\text{R}^{70}\text{R}^{76}$; or a heteroaryl group of one of the formulae



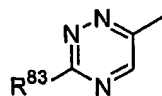
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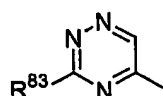
H21



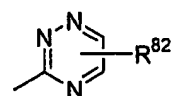
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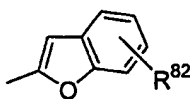
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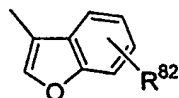
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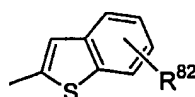
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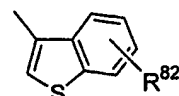
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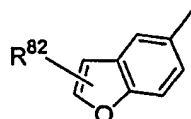
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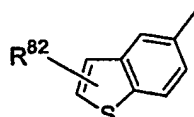
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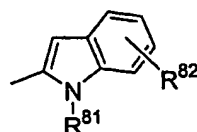
H29



H30



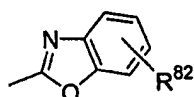
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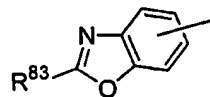
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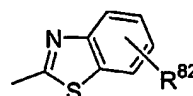
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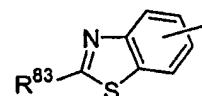
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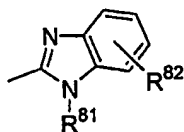
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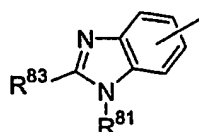
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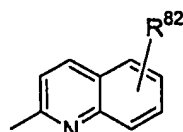
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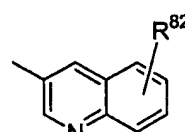
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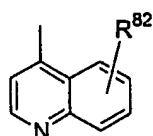
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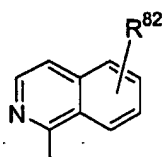
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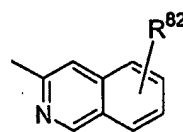
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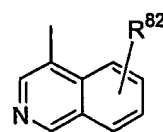
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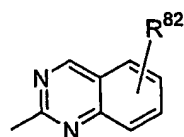
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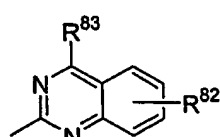
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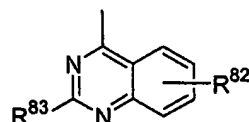
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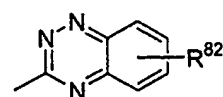
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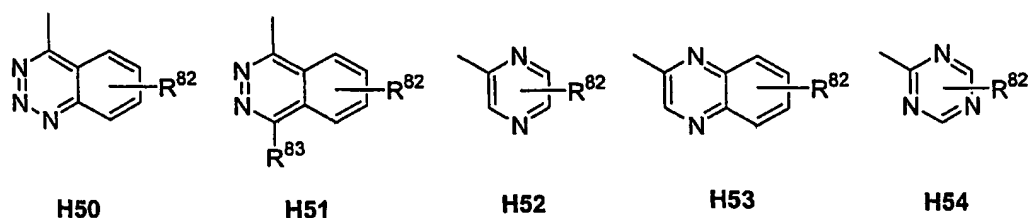
H47



H48



H49



R⁷⁸ is H; lower alkyl; aryl; or aryl-lower alkyl;

R⁷⁸ and R⁸² taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_{2-}$; $-(CH_2)_2S(CH_2)_{2-}$; or $-(CH_2)_2NR^{57}(CH_2)_{2-}$;

5 R⁷⁹ is H; lower alkyl; aryl; or aryl-lower alkyl; or

R^{78} and R^{79} , taken together, can be $-(CH_2)_{2-7}$; $-(CH_2)_2O(CH_2)_{2-}$; or $-(CH_2)_2NR^{57}(CH_2)_{2-}$;

R^{80} is H; or lower alkyl;

R⁸¹ is H; lower alkyl; or aryl-lower alkyl;

R⁸² is H; lower alkyl; aryl; heteroaryl; or aryl-lower alkyl;

10 R³³ and R⁸² taken together can form: -(CH₂)₂-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂;

R^{83} is H; lower alkyl; aryl; or $-NR^{78}R^{79}$.

$$\text{R}^{84} \text{ is } -(\text{CH}_2)_m(\text{CHR}^{61})_s\text{OR}^{78}, -(\text{CH}_2)_m(\text{CHR}^{61})_s\text{SR}^{78}, -(\text{CH}_2)_p\text{CONR}^{78}\text{R}^{79}, -(\text{CH}_2)_p\text{NR}^{80}\text{CONR}^{78}\text{R}^{79};$$

15 $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{CONR}^{78}\text{R}^{79}$; or $-(\text{CH}_2)_p\text{C}_6\text{H}_4\text{NR}^{80}\text{CONR}^{78}\text{R}^{79}$;

R⁸⁵ is lower alkyl; or lower alkenyl;

R^{86} is R^{74} , $-[(CH_2)_u-X]_t-(CH_2)_vNR^{78}R^{79}$; $-[(CH_2)_u-X]_t-(CH_2)_v-C(=NR^{80})NR^{78}R^{79}$; X is $-O-$, $-NR^{20}$, $-S-$,

-OCOO-, u is 1-3, t is 1-6, v is 1-3;

20 R⁸⁷ is R⁸⁴; -[(CH₂)_u-X]_l-(CH₂)_vOR⁷⁸, -[(CH₂)_u-X]_l-(CH₂)_v-CONR⁷⁸R⁷⁹, -[(CH₂)_u-X]_l-(CH₂)_v-NR⁸⁰CONR⁷⁸R⁷⁹, -[(CH₂)_u-X]_l-(CH₂)_vSR⁷⁸; X is -O-, -NR²⁰-, -S-, -OCOO-, u is 1-3, t is 1-6, v is 1-3;

25

with the proviso that in said chain of 12 α -amino acid residues **Z** the amino acid residues in positions 1 to 12 are:

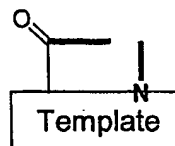
30 - P1: of type C or of type D or of type E or of type F, or the residue is Pro;
 - P2: of type E or of type D;

- P3: of type C, or the residue is Pro;
 - P4: of type E or of type F or of type I or of type K;
 - P5: of type E or of type D or or of type C or of type I or of type K or of type F, or the residue is Gly or Pro;
 - 5 - P6: of type E or of type F or of formula -A-CO-, or of type I or of type K or of type D, or the residue is Gly;
 - P7: of type E or of type F or of type I or of type C or of formula -B-CO-;
 - P8: of type D or of type C, or the residue is Pro;
 - P9: of type E or of type D or of type F;
 - 10 - P10: of type D or of type C or the residue is Pro;
 - P11: of type E or of type D or of type C; and
 - P12: of type C or of type D or of type E or of type F, or the residue is Pro;
 - or
 - P4 and P9 and/or P2 and P11, taken together, can form a group of type H;
 - 15 and at P6 and P7 also D-isomers being possible;
- with the further proviso that said chain of 12 α -amino acid residues contains at least one residue of type I or of type K;

and pharmaceutically acceptable salts thereof.

20

2. Compounds according to claim 1 wherein



is a group of formula (a1) or (a2).

25

3. Compounds according to claim 2 wherein A is a group of one of the formulae A1 to A69;
- R^1 is hydrogen or lower alkyl;
- R^2 is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
- $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
- 30

- (CH₂)_mOCONR³³R⁷⁵ (where R³³ is H; lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken together are - (CH₂)₂₋₆-; - (CH₂)₂O(CH₂)₂-; - (CH₂)₂S(CH₂)₂-; or - (CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl);
- 5 - (CH₂)_mNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are - (CH₂)₂₋₆-; - (CH₂)₂O(CH₂)₂-; - (CH₂)₂S(CH₂)₂-; or - (CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); - (CH₂)_oN(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl);
- 10 (CH₂)_oCOOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); - (CH₂)_oCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; or lower alkyl; or R⁵⁸ and R⁵⁹ taken together are - (CH₂)₂₋₆-; - (CH₂)₂O(CH₂)₂-; - (CH₂)₂S(CH₂)₂-; or - (CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); - (CH₂)_oPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); - (CH₂)_oSO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or -
- 15 (CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy); R³ is H; lower alkyl; lower alkenyl; - (CH₂)_mOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl);
- (CH₂)_mSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); - (CH₂)_mNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are - (CH₂)₂₋₆-;
- 20 - (CH₂)₂O(CH₂)₂-; - (CH₂)₂S(CH₂)₂-; or - (CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); - (CH₂)_mOCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken together are - (CH₂)₂₋₆-; - (CH₂)₂O(CH₂)₂-; - (CH₂)₂S(CH₂)₂-; or - (CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); - (CH₂)_mNR²⁰CONR³³R⁸² (where R²⁰ is
- 25 H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are - (CH₂)₂₋₆-; - (CH₂)₂O(CH₂)₂-; - (CH₂)₂S(CH₂)₂-; or - (CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); - (CH₂)_oN(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); - (CH₂)_oCOOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); - (CH₂)_oCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; lower alkyl; or R⁵⁸ and R⁵⁹ taken together are - (CH₂)₂₋₆-;
- 30 - (CH₂)₂O(CH₂)₂-; - (CH₂)₂S(CH₂)₂-; or - (CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); - (CH₂)_oPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); - (CH₂)_oSO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or - (CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy).
- 35

- R^4 is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
- 5 $(CH_2)_{2-6}$;
- $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);
- $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or -
- 10 $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$;
- $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);
- $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl);
- $-(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58}
- 15 is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$;
- $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);
- $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl;
- 20 lower alkenyl; or lower alkoxy).
- R^5 is lower alkyl; lower alkenyl; $-(CH_2)_oOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- $-(CH_2)_oSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $(CH_2)_oNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$;
- $-(CH_2)_2O(CH_2)_2$;
- 25 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
- $(CH_2)_oOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are
- $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_oNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower lower alkyl; R^{33} is H; or
- 30 lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are -
- $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
- $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
- $(CH_2)_oN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is alkyl; alkenyl; aryl; aryl-lower alkyl; or heteroaryl-lower alkyl); $-(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower
- 35 alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$;

- or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl);
- $-(\text{CH}_2)_6\text{PO}(\text{OR}^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_6\text{SO}_2\text{R}^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(\text{CH}_2)_q\text{C}_6\text{H}_4\text{R}^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
- 5 R^6 is H; lower alkyl; lower alkenyl; $-(\text{CH}_2)_6\text{OR}^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- $-(\text{CH}_2)_6\text{SR}^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_6\text{NR}^{33}\text{R}^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$;
- 10 $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); -
- $(\text{CH}_2)_6\text{OCONR}^{33}\text{R}^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_6\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ (where R^{20} is H; or lower lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$;
- 15 $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); -
- $(\text{CH}_2)_6\text{N}(\text{R}^{20})\text{COR}^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
- $(\text{CH}_2)_6\text{COOR}^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_6\text{CONR}^{58}\text{R}^{59}$ (where R^{58}
- 20 is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or
- $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_6\text{PO}(\text{OR}^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_6\text{SO}_2\text{R}^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -
- $(\text{CH}_2)_q\text{C}_6\text{H}_4\text{R}^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
- 25 R^7 is lower alkyl; lower alkenyl; $-(\text{CH}_2)_q\text{OR}^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- $-(\text{CH}_2)_q\text{SR}^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_q\text{NR}^{33}\text{R}^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$;
- $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); -
- 30 $(\text{CH}_2)_q\text{OCONR}^{33}\text{R}^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(\text{CH}_2)_{2-6}-$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_q\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(\text{CH}_2)_{2-6}-$;
- 35 $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2-$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2-$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2-$; where R^{57} is H; or lower alkyl);
- $-(\text{CH}_2)_q\text{N}(\text{R}^{20})\text{COR}^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -

- (CH₂)_rCOOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); -(CH₂)_qCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; or lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_rPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)_rSO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or - (CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy); R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; -(CH₂)_oOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl); -(CH₂)_oSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); -(CH₂)_oNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_oOCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_oNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_oN(R²⁰)COR⁶⁴ (where R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); -(CH₂)_oCOOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); -(CH₂)_oCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; or lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_oPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)_oSO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or -(CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy); R⁹ is lower alkyl; lower alkenyl; -(CH₂)_oOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl); -(CH₂)_oSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); -(CH₂)_oNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_mNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-;

- $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58}
 is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together
 5 are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or
 $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower
 alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -
 $(CH_2)_4C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{10} is lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 10 $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is
 lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
 $(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$;
 $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 15 R^{33} and R^{75} taken together are
 $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} : H is or
 lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower lower alkyl; R^{33} is H; or
 lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are -
 $(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$;
 20 $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58}
 is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are -
 $(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or
 25 $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower
 alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -
 $(CH_2)_4C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{11} is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower
 alkenyl);
 30 $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is
 lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
 $(CH_2)_{2-6}-$;
 $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
 $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 35 R^{33} and R^{75} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or -
 $(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is

- H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$;
- $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl;
- $-(CH_2)_mN(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl);
- 5 $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$;
- $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl;
- $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is
- 10 lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
- R^{12} is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is
- 15 lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$;
- $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
- $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or -
- 20 $(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$;
- $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
- $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl);
- 25 $-(CH_2)_rCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_rCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$;
- $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
- $-(CH_2)_rPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is
- 30 lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
- R^{13} is lower alkyl; lower alkenyl; $-(CH_2)_qOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- $-(CH_2)_qSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_qNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
- 35 $(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$;
- $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -

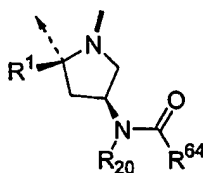
- (CH₂)_qOCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken together are
- (CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_qNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -
- 5 (CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); - (CH₂)_n(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); - (CH₂)_rCOOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); -(CH₂)_qCONR⁵⁸R⁵⁹ (where R⁵⁸
- 10 is lower alkyl; or lower alkenyl; and R⁵⁹ is H; or lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_rPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)_rSO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or -(CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);
- 15 R¹⁴ is H; lower alkyl; lower alkenyl; -(CH₂)_mOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl); -(CH₂)_mSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); -(CH₂)_mNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are - (CH₂)₂₋₆-;
- 20 -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_mOCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or - (CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_mNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl;
- 25 or R³³ and R⁸² taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_mN(R²⁰)COR⁶⁴ (where: R²⁰ is H; lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); -(CH₂)_oCOOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); -(CH₂)_oCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; or lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -(CH₂)₂₋₆-;
- 30 -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_oPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)_oSO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or -(CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);
- 35 R¹⁵ is lower alkyl; lower alkenyl; -(CH₂)_oOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl); -(CH₂)_oSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); -(CH₂)_oNR³³R³⁴ (where R³³ is

- lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
5 R^{33} and R^{75} taken together are
 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower lower alkyl; R^{33} is H; or
lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
10 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $NR^{20}CO$ lower alkyl ($R^{20}=H$; or lower alkyl); being particularly favoured; $-(CH_2)_6COOR^{57}$
(where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl, or
lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; -
15 $(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $(CH_2)_6C_6H_4R^8$ (where R^8 is H;
F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{16} is lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
20 $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is
lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
25 R^{33} and R^{75} taken together are
 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower lower alkyl; R^{33} is H; or
lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
30 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58}
is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together
are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or
35 $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower

- alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); and R^{17} is lower alkyl; lower alkenyl; $-(CH_2)_qOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_qSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_qNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_qOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_qNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_qN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_qCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_qCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_qPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_qSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy).

4. Compounds according to claim 2 or 3 wherein A is a group of one of the formulae A5 (with R^2 being H); A8; A22; A25; A38 (with R^2 being H); A42; and A50.

5. Compounds according to claim 4 wherein A is a group of formula ...



A8'

wherein R^{20} is H or lower alkyl; and R^{64} is alkyl; alkenyl; aryl; aryl-lower alkyl; or heteroaryl-lower alkyl.

6. Compounds according to claim 6 wherein R^{64} is n-hexyl; n-heptyl; 4-(phenyl)benzyl; diphenylmethyl, 3-amino-propyl; 5-amino-pentyl; methyl; ethyl; isopropyl; isobutyl; n-

propyl; cyclohexyl; cyclohexylmethyl; n-butyl; phenyl; benzyl; (3-indolyl)methyl; 2-(3-indolyl)ethyl; (4-phenyl)phenyl; or n-nonyl.

7. Compounds according to claim 3 wherein A is a group of one of the formulae A70 to
 5 A104;
 R^{20} is H; or lower alkyl;
 R^{18} is lower alkyl;
 R^{19} is lower alkyl; lower alkenyl; $-(CH_2)_pOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_pSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_pNR^{33}R^{34}$ (where R^{33} is
 10 lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_pOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are
 15 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
 lower alkyl); $-(CH_2)_pNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower lower alkyl; R^{33} is H; or
 lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 20 $(CH_2)_pN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl);
 $(CH_2)_pCOOR^{57}$ (where R^{57} : lower alkyl; or lower alkenyl); $(CH_2)_pCONR^{58}R^{59}$ (where R^{58} is
 lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
 lower alkyl); $-(CH_2)_pPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_pSO_2R^{62}$
 25 (where R^{62} is lower alkyl; or lower alkenyl); or $(CH_2)_6C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ;
 lower alkyl; lower alkenyl; or lower alkoxy);
 R^{21} is H; lower alkyl; lower alkenyl; $-(CH_2)_pOR^{55}$ (where R^{55} is lower alkyl; or lower
 alkenyl);
 $-(CH_2)_pSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_pNR^{33}R^{34}$ (where R^{33} is
 30 lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_pOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are
 35 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
 lower alkyl);

- $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 5 $(CH_2)_6N(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58}
 is lower alkyl, or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or
 $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower
 10 alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -
 $(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{22} is lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is
 lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
 15 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are
 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
 20 lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower
 alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$;
 $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);
 $-(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58}
 25 is lower alkyl, or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
 lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is
 H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 30 R^{23} is H; lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower
 alkenyl);
 $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is
 lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
 35 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or

- R^{33} and R^{75} taken together are
- $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl; $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$;
- 5 $-(CH_2)_2O(CH_2)_2$;
- $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6N(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $NR^{20}CO$ lower alkyl ($R^{20}=H$; or lower alkyl) being particularly favoured; $-(CH_2)_6COOR^{57}$
 (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl, or
- 10 lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is
 H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
- 15 R^{24} is lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is
 lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
- 20 $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are
 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
 lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower lower alkyl; R^{33} is H; or
 lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
- 25 $(CH_2)_6N(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
 $NR^{20}CO$ lower alkyl ($R^{20}=H$; or lower alkyl) being particularly favoured; $-(CH_2)_6COOR^{57}$
 (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl, or
 lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; -
 $(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is
 H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
- 30 R^{25} is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower
 alkenyl);

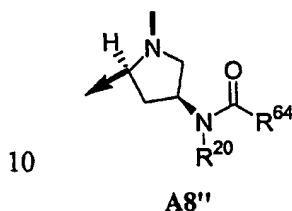
- $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or -
 $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_2$ -
5 6 ; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or
 $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or -
 $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower
10 alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; -
 $(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);
 $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is
15 lower alkyl; or lower alkenyl); or $-(CH_2)_4C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^{26} is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33}
20 and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or -
 $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_2$ -
 6 ; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or
 $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is
25 H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or -
 $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower
alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and
30 R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; -
 $(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);
 $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is
lower alkyl; or lower alkenyl); or $-(CH_2)_4C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); or, alternatively, R^{25} and R^{26} taken together are $-(CH_2)_{2-6}$; -
35 $(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{34}(CH_2)_2$;

- R^{27} is H; lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
- 5 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
- $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
- $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are
- $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
- 10 lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are -
- $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
- $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
- $(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
- 15 $(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl, or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are -
- $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or
- $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -
- 20 $(CH_2)_6C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
- R^{28} is lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
- $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
- 25 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
- $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are
- $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower
- 30 alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$;
- $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);
- $-(CH_2)_6N(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
- $(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl, or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are -
- 35 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);

- $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); and
 R^{29} is lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is
5 lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are -
 $(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;
 $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or
 R^{33} and R^{75} taken together are
10 $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or
lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower
alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$;
 $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); -
 $(CH_2)_6N(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -
15 $NR^{20}CO$ lower-alkyl ($R^{20}=H$; or lower alkyl) being particularly favoured; $-(CH_2)_6COOR^{57}$
(where R^{57} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl, or lower alkenyl; and R^{59} is H; lower alkyl; or
 R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or -
 $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower
20 alkyl; or lower alkenyl);
 $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is
H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy).
8. Compounds according to claim 8 wherein R^{23} , R^{24} and R^{29} are $-NR^{20}-CO$ -lower alkyl
25 where R^{20} is H; or lower alkyl.
9. Compounds according to claim 7 or 8 wherein A is a group of one of the formulae
A74 (with R^{22} being H); A75; A76; A77 (with R^{22} being H); A78; and A79.
- 30 10. Compounds according to any one of claims 2 to 9 wherein B is a group of formula
 $-NR^{20}CH(R^{71})-$ or an enantiomer of one of the groups A5 (with R^2 being H); A8; A22; A25;
A38 (with R^2 being H); A42; A47; and A50.
11. Compounds according to any one of claims 2 to 9 wherein B-CO is Ala;
35 Arg; Asn; Cys; Gln; Gly; His; Ile; Leu; Lys; Met; Phe; Pro; Ser; Thr; Trp; Tyr; Val; Cit;
Orn; tBuA; Sar; t-BuG; 4AmPhe; 3AmPhe; 2AmPhe; Phe(mC(NH₂)=NH);

- Phe(pC(NH₂)=NH; Phe(mNHC(NH₂)=NH; Phe(pNHC(NH₂)=NH; Phg; Cha; C₄al; C₅al; Nle; 2-Nal; 1-Nal; 4Cl-Phe; 3Cl-Phe; 2Cl-Phe; 3,4Cl₂Phe; 4F-Phe; 3F-Phe; 2F-Phe; Tic; Thi; Tza; Mso; AcLys; Dpr; A₂Bu; Dbu; Abu; Aha; Aib; Y(Bzl); Bip; S(Bzl); T(Bzl); hCha; hCys; hSer; hArg; hPhe; Bpa; Pip; OctG; MePhe; MeNle; MeAla; MeIle; MeVal; MeLeu;
- 5 BnG; (4-OH)BnG; IaG; IbG; (EA)G; (PrA)G; (BA)G; (PeA)G; (EGU)G; (PrGU)G; (BGU)G; (PeGU)G; (PEG₃-NH₂)G; (Et-CONH₂)G; (Et-OH)G; (CH₂-CONH₂)G; (n-Pr-NHCONH₂)G; or (Et-SH)G.

12. Compounds according to any one of claims 2 to 9 wherein B is a group, having (L)-configuration, of formula



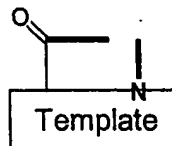
wherein R²⁰ is H; or lower alkyl; and R⁶⁴ is alkyl; alkenyl; aryl; aryl-lower alkyl; or heteroaryl-lower alkyl.

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13. Compounds according to claim 12 wherein R⁶⁴ is n-hexyl; n-heptyl; 4-(phenyl)benzyl; diphenylmethyl; 3-amino-propyl; 5-amino-pentyl; methyl; ethyl; isopropyl; isobutyl; n-propyl; cyclohexyl; cyclohexylmethyl; n-butyl; phenyl; benzyl; (3-indolyl)methyl; 2-(3-indolyl)ethyl; (4-phenyl)phenyl; or n-nonyl.

20

14. Compounds according to claim 1 wherein



is a group of formula (b1) or (1);

R¹ is H; or lower alkyl;

25 R²⁰ is H; or lower alkyl;

R³⁰ is H; or methyl;

R³¹ is H; lower alkyl; lower alkenyl; -(CH₂)_pOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl);

-(CH₂)_pNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³

30 and R³⁴ taken together are -(CH₂)₂₋₆; -(CH₂)₂O(CH₂)₂; -(CH₂)₂S(CH₂)₂; or -

- $(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_p\text{OCONR}^{33}\text{R}^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(\text{CH}_2)_{2-6}$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$; or
 $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_p\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(\text{CH}_2)_{2-6}$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$; or -
 $(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_p\text{N}(\text{R}^{20})\text{COR}^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_6\text{COOR}^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_6\text{CONR}^{58}\text{R}^{59}$ (where R^{58} is lower alkyl, or lower alkenyl; and
10 R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(\text{CH}_2)_{2-6}$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$; -
 $(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$; where R^{57} is H; or lower alkyl);
 $-(\text{CH}_2)_6\text{PO}(\text{OR}^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_6\text{SO}_2\text{R}^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(\text{CH}_2)_6\text{C}_6\text{H}_4\text{R}^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); most preferably $-\text{CH}_2\text{CONR}^{58}\text{R}^{59}$ (where R^{58} is H; or lower
15 alkyl; and R^{59} is lower alkyl; or lower alkenyl);
 R^{32} is H; or methyl;
 R^{33} is lower alkyl; lower alkenyl; $-(\text{CH}_2)_m\text{OR}^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(\text{CH}_2)_m\text{NR}^{34}\text{R}^{63}$ (where R^{34} is lower alkyl; or lower alkenyl; R^{63} is H; or lower alkyl; or R^{34} and R^{63} taken together are $-(\text{CH}_2)_{2-6}$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$; or -
20 $(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_m\text{OCONR}^{75}\text{R}^{82}$ (where R^{75} is lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{75} and R^{82} taken together are -
 $(\text{CH}_2)_{2-6}$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$; or
 $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_m\text{NR}^{20}\text{CONR}^{78}\text{R}^{82}$ (where R^{20} is H; or lower alkyl; R^{78} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{78} and R^{82} taken together are $-(\text{CH}_2)_{2-6}$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$; or -
25 $(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_m\text{N}(\text{R}^{20})\text{COR}^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_6\text{COOR}^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_6\text{CONR}^{58}\text{R}^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(\text{CH}_2)_{2-6}$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$; -
30 $(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$; where R^{57} is H; or lower alkyl);
 R^{34} is H; or lower alkyl;
 R^{35} is H; lower alkyl; lower alkenyl; $(\text{CH}_2)_m\text{OR}^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(\text{CH}_2)_m\text{NR}^{33}\text{R}^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(\text{CH}_2)_{2-6}$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_2$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_2$; or -
35 $(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_2$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_m\text{OCONR}^{33}\text{R}^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(\text{CH}_2)_2$ -

- 6-; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or
 $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or -
- 5 $(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
- 10 R^{36} : lower alkyl; lower alkenyl; or aryl-lower alkyl;
 R^{37} is H; lower alkyl; lower alkenyl; $-(CH_2)_pOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
 $-(CH_2)_pNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or -
- 15 $(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_pOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or
 $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_pNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or -
- 20 $(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_pN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; -
- 25 $(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);
 $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); and
 R^{38} is H; lower alkyl; lower alkenyl; $-(CH_2)_pOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);
- 30 $-(CH_2)_pNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or -
 $(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_pOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}-$;
- 35 $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or
 $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_pNR^{20}CONR^{33}R^{82}$ (where R^{20} is

H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or - (CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl; -(CH₂)_pN(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); -(CH₂)_oCOOR⁵⁷ (where R⁵⁷ is lower
 5 alkyl; or lower alkenyl); -(CH₂)_oCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl, or lower alkenyl; and R⁵⁹ is H; lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; - (CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl);
 -(CH₂)_oPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)_oSO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or -(CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl;
 10 lower alkenyl; or lower alkoxy).

15. Compounds according to claim 15 wherein R¹ is H; R²⁰ is H; R³⁰ is H; R³¹ is carboxymethyl; or lower alkoxy carbonylmethyl; R³² is H; R³⁵ is methyl; R³⁶ is methoxy; R³⁷ is H and R³⁸ is H.

15

16. Compounds according to any one of claims 1 to 15 wherein the α-amino acid residues in position 1 – 12 of chain Z are:

- P1: of type C or of type D or of type E or of type F,
 - P2: of type D or of type E;
 - 20 - P3: of type C;
 - P4: of type E or of type I or of type F;
 - P5: of type E or of type I or of type F;
 - P6: of type E or of type I or of type D or of formula -A1-A69-CO;
 - P7: of type E or of type I or of type C or of formula B-CO;
 - 25 - P8: of type D;
 - P9: of type E;
 - P10: of type D or of type C,
 - P11: of type E or of type D; or of type C and
 - P12: of type C or of type D or of type E or of type F;
 - 30 - at P6 and P7 also D-isomers being possible;
- with the proviso that at least one of the amino acid residues is of type I.

17. Compounds according to claim 16 wherein the α-amino acid residues in position 1 –
 35 12 of the chain Z are:

- P1: Leu; Thr; or Arg;
 - P2: Arg; or Trp;
 - P3: Leu;
 - P4: Lys; hArg; (BA)G; or Gln;
 5 - P5: Lys; Gln; hArg; or (PeA)G;
 - P6: Arg, Trp, hArg; (EGU)G;
 - (EA)G; (PrA)G; (PeA)G or (BA)G;
 - P7: Arg; (PeA)G; or Val
 - P8: Trp; or Bip;
 10 - P9: Lys; Arg; or hArg;
 - P10: Tyr;
 - P11: Arg; or Tyr; and
 - P12: Val; or Arg
 with the proviso that
 15 - the amino acid residue in P4 is (BA)G; and/or
 - the amino acid residue in P5 is (PeA)G; and/or
 - the amino acid residue in P6 is (EGU)G or
 (EA)G or (PrA)G or (PeA)G or (BA)G; and/or
 - the amino acid residue in P7 is (PeA)G.

20

18. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro and the amino acid residues in position 1 – 12 are:

- P1: Leu;
 - P2: Arg;
 25 - P3: Leu;
 - P4: Lys;
 - P5: Lys;
 - P6: (EA)G;
 - P7: Arg;
 30 - P8: Trp;
 - P9: Lys;
 - P10: Tyr;
 - P11: Arg; and
 - P12: Val

35

19. A compound of formula Ia according to claim 1 wherein the template is ^DPro-^LPro and the amino acid residues in position 1 – 12 are:

- P1: Leu;
- P2: Arg;
- 5 - P3: Leu;
- P4: hArg;
- P5: hArg;
- P6: (EGU)G;
- P7: Arg;
- 10 - P8: Trp;
- P9: hArg;
- P10: Tyr;
- P11: Arg; and
- P12: Val

15

20. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro and the amino acid residues in position 1 – 12 are:

- P1: Leu;
- P2: Arg;
- 20 - P3: Leu;
- P4: Lys;
- P5: Lys;
- P6: (PrA)G;
- P7: Arg;
- 25 - P8: Trp;
- P9: Lys;
- P10: Tyr;
- P11: Arg; and
- P12: Val

30

21. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro; and the amino acid residues in position 1 – 12 are:

- P1: Leu;
- P2: Arg;
- 35 - P3: Leu;
- P4: Lys;

- P5: Lys;
- P6: (BA)G;
- P7: Arg;
- P8: Bip;
- 5 - P9: Lys;
- P10: Tyr;
- P11: Arg; and
- P12: Val

- 10 22. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro and the amino acid residues in position 1 – 12 are:

- P1: Leu;
- P2: Arg;
- P3: Leu;
- 15 - P4: (BA)G;
- P5: Lys;
- P6: (BA)G;
- P7: Arg;
- P8: Bip;
- 20 - P9: Lys;
- P10: Tyr;
- P11: Arg; and
- P12: Val

- 25 23. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro and the amino acid residues in position 1 – 12 are:

- P1: Leu;
- P2: Arg;
- P3: Leu;
- 30 - P4: Lys;
- P5: Lys;
- P6: (PrA)G;
- P7: Arg;
- P8: Bip;
- 35 - P9: Lys;
- P10: Tyr;

- P11: Arg; and
- P12: Val

24. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro
5 and the amino acid residues in position 1 – 12 are:

- P1: Arg;
- P2: Trp;
- P3: Leu;
- P4: Lys;
- 10 - P5: Lys;
- P6: Arg;
- P7: (PeA)G;
- P8: Trp;
- P9: Lys;
- 15 - P10: Tyr;
- P11: Tyr; and
- P12: Val

25. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro
20 and the amino acid residues in position 1 – 12 are:

- P1: Arg;
- P2: Trp;
- P3: Leu;
- P4: Gln;
- 25 - P5: (PeA)G;
- P6: Arg;
- P7: Arg;
- P8: Trp;
- P9: Lys;
- 30 - P10: Tyr;
- P11: Tyr; and
- P12: Arg

26. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro
and the amino acid residues in position 1 – 12 are:

- 35 P1: Arg;
- P2: Trp;

- P3: Leu;
- P4: Lys;
- P5: (PeA)G;
- P6: Arg;
- 5 - P7: Arg;
- P8: Trp;
- P9: Lys;
- P10: Tyr;
- P11: Tyr; and
- 10 - P12: Val

27. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro and the amino acid residues in position 1 – 12 are:

- P1: Thr;
- P2: Trp;
- 15 - P3: Leu;
- P4: Lys;
- P5: (PeA)G;
- P6: Arg;
- P7: Arg;
- 20 - P8: Trp;
- P9: Lys;
- P10: Tyr;
- P11: Tyr; and
- P12: Arg

25 28. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro and the amino acid residues in position 1 – 12 are:

- P1: Arg;
- P2: Trp;
- P3: Leu;
- 30 - P4: Gln;
- P5: Lys;
- P6: Arg;
- P7: (PeA)G;
- P8: Trp;
- 35 - P9: Lys;
- P10: Tyr;

- P11: Tyr; and
- P12: Arg

29. A compound of formula I according to claim 1 wherein the template is ^DPro-^LPro
5 and the amino acid residues in position 1 – 12 are:

- P1: Thr;
- P2: Trp;
- P3: Leu;
- P4: Lys;
- 10 - P5: (PeA)G;
- P6: Arg;
- P7: Arg;
- P8: Trp;
- P9: Lys;
- 15 - P10: Tyr;
- P11: Tyr; and
- P12: Arg

20 30. Enantiomers of the compounds of formula I as defined in claim 1.

31. Compounds according to any one of claims 1 to 30 for use as therapeutically active substances.

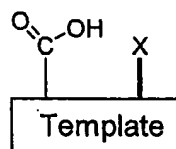
25 32. Compounds according the claim 31 having antibacterial activity.

33. A pharmaceutical composition containing a compound according to any one of claims 1 to 30 and a pharmaceutically inert carrier.

30 34. Compositions according to claim 33 in a form suitable for oral, topical, transdermal, injection, buccal, transmucosal, pulmonary or inhalation administration.

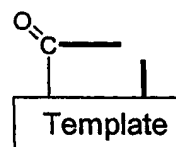
34. Compositions according to claim 33 or 34 in form of tablets, dragees, capsules, solutions, liquids, gels, plaster, creams, ointments, syrup, slurries, suspensions, spray,
35 nebuliser or suppositories.

35. The use of compounds according to any one of claims 1 to 30 for the manufacture of a medicament for treating or preventing infections or diseases related to such infections, said disease being in particular Cystic Fibrosis.
- 5 36. The use of compounds according to any one of claims 1 to 30 as disinfectants or preservatives for foodstuffs, cosmetics, medicaments and other nutrient-containing materials.
37. A process for the manufacture of compounds according to any one of claims 1-29 which process comprises
- 10 (a) coupling an appropriately functionalized solid support with an appropriately N-protected derivative of that amino acid which in the desired end-product is in position 5, 6 or 7, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- (b) removing the N-protecting group from the product thus obtained;
- 15 (c) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is one position nearer the N-terminal amino acid residue, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- (d) removing the N-protecting group from the product thus obtained;
- 20 (e) repeating steps (c) and (d) until the N-terminal amino acid residue has been introduced;
- (f) coupling the product thus obtained with a compound of the general formula



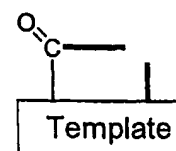
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wherein



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is as defined above and X is an N-protecting group or, if



is to be group (a1) or (a2), above, alternatively

(fa) coupling the product obtained in step (e) with an appropriately N-protected derivative of an amino acid of the general formula



5 wherein B and A are as defined above, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(fb) removing the N-protecting group from the product thus obtained; and

(fc) coupling the product thus obtained with an appropriately N-protected derivative of an amino acid of the above general formula IV and, respectively, III,
10 any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(g) removing the N-protecting group from the product obtained in step (f) or (fc);

(h) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is in position 12, any functional group
15 which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(i) removing the N-protecting group from the product thus obtained;

(j) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is one position farther away from position
20 12, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(k) removing the N-protecting group from the product thus obtained;

(l) repeating steps (j) and (k) until all amino acid residues have been introduced;

(m) if desired, selectively deprotecting one or several protected functional group(s)
25 present in the molecule and appropriately substituting the reactive group(s) thus liberated;

(o) detaching the product thus obtained from the solid support;

(p) cyclizing the product cleaved from the solid support;

(q) if desired, forming one or two interstrand linkage(s) between side-chains of appropriate amino acid residues at opposite positions of the β -strand region;
30 (r) removing any protecting groups present on functional groups of any members of the chain of amino acid residues and, if desired, any protecting group(s) which may in addition be present in the molecule;

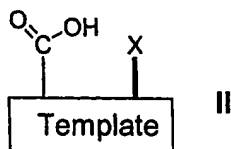
(s) if desired guanidinylation any side-chain amino group present in the chain of amino acid residues; and

35 (t) if desired, converting the product thus obtained into a pharmaceutically acceptable salt or converting a pharmaceutically acceptable, or unacceptable, salt thus obtained into the

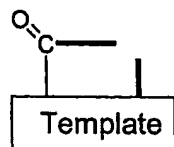
corresponding free compound of formula I or into a different, pharmaceutically acceptable, salt.

38. A process for the manufacture of compounds according to any one of claims 1-29 which process comprises

(a') coupling an appropriately functionalized solid support with a compound of the general formula

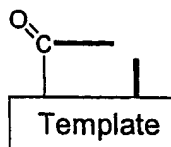


wherein



10

is as defined above and X is an N-protecting group or, if



is to be group (a1) or (a2), above, alternatively

- 15 (a'a) coupling said appropriately functionalized solid support with an appropriately N-protected derivative of an amino acid of the general formula



wherein B and A are as defined above, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

- 20 (a'b) removing the N-protecting group from the product thus obtained; and
 (a'c) coupling the product thus obtained with an appropriately N-protected derivative of an amino acid of the above general formula IV and, respectively, III, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- 25 (b') removing the N-protecting group from the product obtained in step (a') or (a'c);
 (c') coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is one position nearer the N-terminal amino

acid residue, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

- (d') removing the N-protecting group from the product thus obtained;
- (e') coupling the product thus obtained with an appropriately N-protected derivative of
- 5 that amino acid which in the desired end-product is one position farther away from position 12, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- (f') removing the N-protecting group from the product thus obtained;
- (g') repeating steps (e') and (f') until all amino acid residues have been introduced;
- 10 (h') if desired, selectively deprotecting one or several protected functional group(s) present in the molecule and appropriately substituting the reactive group(s) thus liberated;
- (i') detaching the product thus obtained from the solid support;
- (j') cyclizing the product cleaved from the solid support;
- (k') if desired forming one or two interstrand linkage(s) between side-chains of
- 15 appropriate amino acid residues at opposite positions of the β -strand region;
- (l') removing any protecting groups present on functional groups of any members of the chain of amino acid residues and, if desired, any protecting group(s) which may in addition be present in the molecule;
- (m') if desired guanidinylation any side-chain amino group present in the chain of amino
- 20 acid residues; and
- (n') if desired, converting the product thus obtained into a pharmaceutically acceptable salt or converting a pharmaceutically acceptable, or unacceptable, salt thus obtained into the corresponding free compound of formula I or into a different, pharmaceutically acceptable, salt.

25
39. A process according to claim 37 or 38 but wherein an amino acid residue of type I or K is introduced by coupling with a leaving group-containing acetylating agent, followed by nucleophilic displacement with an amine of the formula H_2NR^{86} and, respectively, H_2NR^{87} which, if necessary, is appropriately protected.

30
40. A process according to claim 39 wherein said leaving group-containing acetylating agent is bromo, chloro or iodo acetic acid.

41. A modification of the processes according to any one of claims 37 to 40 for the
35 manufacture of compounds according to claim 30 in which enantiomers of all chiral starting materials are used.